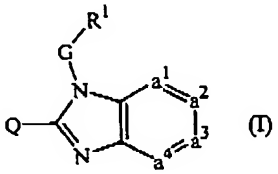
DOCKET NO.: JANS-0027/JAB-1498 **PATENT**
Application No.: 10/030,202
Office Action Dated: June 6, 2003

This listing of claims will replace all prior versions, and listings, of claims in the application.

Listing of Claims:

1. ***(currently amended)*** A method of manufacturing a medicament for the treatment of viral infections, comprising the step of providing a compound of formula



(I)

a prodrug, *N*-oxide, addition salt, quaternary amine, metal complex or stereochemically isomeric form thereof,

wherein $-a^1=a^2-a^3=a^4-$ represents a bivalent radical of formula

$-CH=CH-CH=CH-$ (a-1);

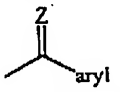
~~$-N=CH-CH=CH-$ (a-2);~~

~~$-CH=N-CH=CH-$ (a-3);~~

~~$-CH=CH-N=CH-$ (a-4); or~~

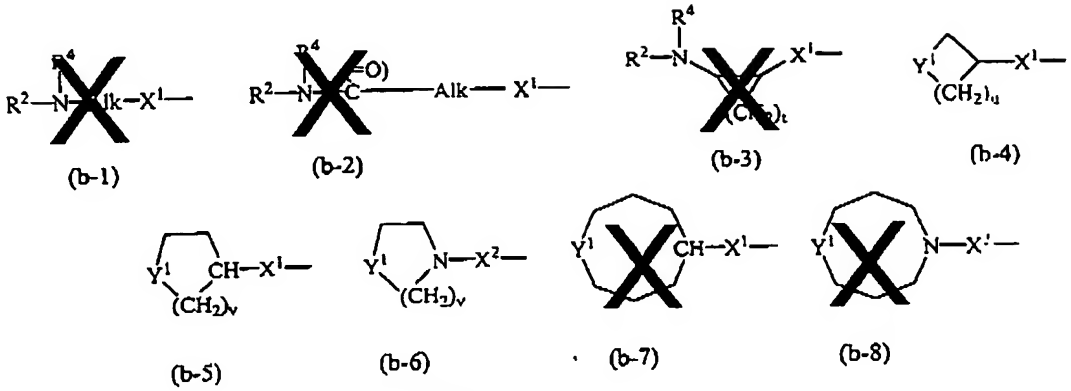
~~$-CH=CH-CH=N-$ (a-5);~~

wherein each hydrogen atom in the ~~radicals~~ **radical** (a-1)~~, (a-2), (a-3), (a-4) and (a-5)~~ may optionally be replaced by halo, C_{1-6}alkyl, nitro, amino, hydroxy, C_{1-6}alkyloxy, polyhaloC_{1-6}alkyl, carboxyl, aminoC_{1-6}alkyl, mono- or di(C_{1-4}alkyl)aminoC_{1-6}alkyl, C_{1-6}alkyloxycarbonyl, hydroxyC_{1-6}alkyl, or a radical of formula



wherein ~~=Z~~ Z is ~~=O, =CH-C(=O)-NR^{5a}R^{5b}, =CH$_2$, =CH-C$_{1-6}$alkyl, =N-OH or =N-O-C$_{1-6}$alkyl~~ **O, CH-C(=O)-NR^{5a}R^{5b}, CH$_2$, CH-C$_{1-6}$alkyl, N-OH or N-O-C$_{1-6}$alkyl**;

Q is a radical of formula



wherein ~~Alk is C_{1-6}alkanediyl~~;

Y^1 is a bivalent radical of formula $-NR^2-$ or $-CH(NR^2R^4)-$;

X^1 is NR^4, S, S(=O), $S(=O)_2$, O, CH_2, C(=O), $C(=CH_2)$, CH(OH), $CH(CH_3)$, $CH(OCH_3)$, $CH(SCH_3)$, $CH(NR^{5a}R^{5b})$, CH_2-NR^4 or NR^4-CH_2;

X^2 is a direct bond, CH_2, C(=O), NR^4, C_{1-4}alkyl-NR^4, NR^4-C_{1-4}alkyl;

~~t is 2, 3, 4 or 5;~~

u is ~~1, 2, 3, 4 or 5~~ **2 or 3;**

v is 2 ~~or 3~~; and

whereby each hydrogen atom in ~~Alk and~~ the carbocycles and the heterocycles defined in radicals ~~(b-3),~~ (b-4), (b-5), **and** (b-6)~~, (b-7) and (b-8)~~ may optionally be replaced by R^3; with the proviso that when R^3 is hydroxy or C_{1-6}alkyloxy, then R^3 can not replace a hydrogen atom in the α position relative to a nitrogen atom;

G is a direct bond or C_{1-10}alkanediyl;

R^1 is a monocyclic heterocycle selected from piperidinyl, piperazinyl, pyridyl, pyrazinyl, pyridazinyl, pyrimidinyl, pyrrolyl, furanyl, tetrahydrofuranyl, thienyl, oxazolyl, thiazolyl, imidazolyl, pyrazolyl, isoxazolyl, oxadiazolyl, and isothiazolyl; and each heterocycle may optionally be substituted with 1 or where possible more~~, such as 2, 3 or 4,~~ substituents selected from halo, hydroxy, amino, cyano, carboxy, C_{1-6}alkyl, C_{1-6}alkyloxy, C_{1-6}alkylthio, C_{1-6}alkyloxyC_{1-6}alkyl, aryl, arylC_{1-6}alkyl, arylC_{1-6}alkyloxy, hydroxyC_{1-6}alkyl, mono-or di(C_{1-6}alkyl)amino, mono-or di(C_{1-6}alkyl)aminoC_{1-6}alkyl, polyhaloC_{1-6}alkyl, C_{1-6}alkylcarbonylamino, C_{1-6}alkyl-SO_2-NR^{5c}-, aryl-SO_2-NR^{5c}-, C_{1-6}alkyloxycarbonyl,

-C(=O)-$NR^{5c}R^{5d}$, HO(-CH_2-CH_2-O)$_n$-, halo(-CH_2-CH_2-O)$_n$-, C_{1-6}alkyloxy(-CH_2-CH_2-O)$_n$-, arylC_{1-6}alkyloxy(-CH_2-CH_2-O)$_n$- and mono-or di(C_{1-6}alkyl)amino(-CH_2-CH_2-O)$_n$-;

each n independently is 1, 2, 3 or 4;

R^2 is hydrogen, formyl, C_{1-6}alkylcarbonyl, Hetcarbonyl, pyrrolidinyl, piperidinyl, homopiperidinyl, C_{3-7}cycloalkyl substituted with $N(R^6)_2$, or C_{1-10}alkyl substituted with $N(R^6)_2$ and optionally with a second, third or fourth substituent selected from amino, hydroxy, C_{3-7}cycloalkyl, C_{2-5}alkanediyl, piperidinyl, mono-or di(C_{1-6}alkyl)amino, C_{1-6}alkyloxycarbonylamino, aryl and aryloxy;

R^3 is hydrogen, hydroxy, C_{1-6}alkyl, C_{1-6}alkyloxy, arylC_{1-6}alkyl or arylC_{1-6}alkyloxy;

R^4 is hydrogen, C_{1-6}alkyl or arylC_{1-6}alkyl;

R^{5a}, R^{5b}, R^{5c} and R^{5d} each independently are hydrogen or C_{1-6}alkyl; or

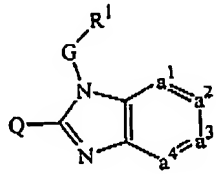
R^{5a} and R^{5b}, or R^{5c} and R^{5d} taken together form a bivalent radical of formula -$(CH_2)_s$- wherein s is 4 or 5;

R^6 is hydrogen, C_{1-4}alkyl, formyl, hydroxyC_{1-6}alkyl, C_{1-6}alkylcarbonyl or C_{1-6}alkyloxycarbonyl;

aryl is phenyl or phenyl substituted with 1 or more~~, such as 2, 3 or 4,~~ substituents selected from halo, hydroxy, C_{1-6}alkyl, hydroxyC_{1-6}alkyl, polyhaloC_{1-6}alkyl, and C_{1-6}alkyloxy; and

Het is pyridyl, pyrimidinyl, pyrazinyl, or pyridazinyl.

2. ***(currently amended)*** A compound of formula (I')



(I')

a prodrug, *N*-oxide, addition salt, quaternary amine, metal complex or stereochemically isomeric form thereof, wherein -a^1=a^2-a^3=a^4- represents a radical of formula

-CH=CH-CH=CH- (a-1);

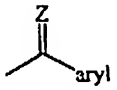
~~-N=CH-CH=CH- (a-2);~~

~~-CH=N-CH=CH- (a-3);~~

~~-CH=CH-N=CH- (a-4); or~~

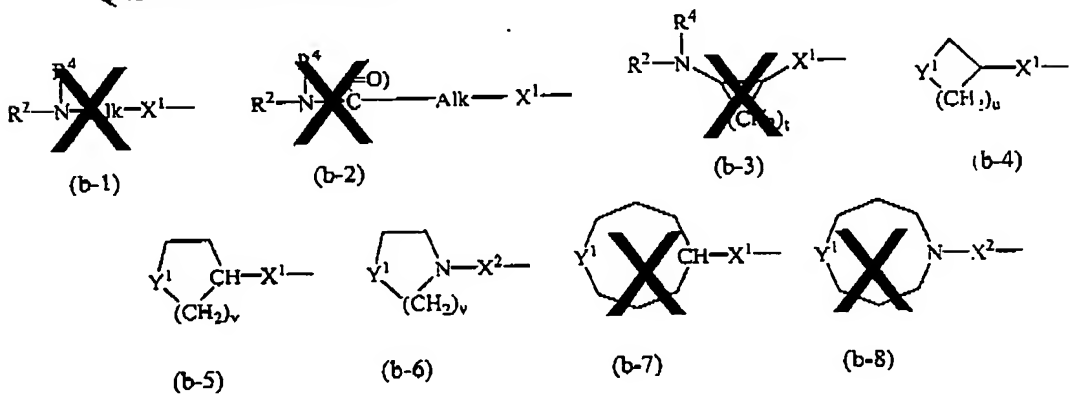
~~-CH=CH-CH=N- (a-5);~~

wherein each hydrogen atom in the radicals (a-1)~~, (a-2), (a-3), (a-4) and (a-5)~~ may optionally be replaced by halo, C_{1-6}alkyl, nitro, amino, hydroxy, C_{1-6}alkyloxy, polyhaloC_{1-6}alkyl, carboxyl, aminoC_{1-6}alkyl, mono- or di(C_{1-4}alkyl)aminoC_{1-6}alkyl, C_{1-6}alkyloxycarbonyl, hydroxyC_{1-6}alkyl, or a radical of formula



wherein ~~=Z~~ Z is ~~=O, =CH-C(=O)-NR^{5a}R^{5b}, =CH$_2$, =CH-C$_{1-6}$alkyl, =N-OH or =N-O-C$_{1-6}$alkyl~~ O, CH-C(=O)-NR^{5a}R^{5b}, CH$_2$, CH-C$_{1-6}$alkyl, N-OH or N-O-C$_{1-6}$alkyl;

Q is a radical of formula



wherein ~~Alk is C$_{1-6}$alkanediyl;~~

Y^1 is a bivalent radical of formula $-NR^2-$ or $-CH(NR^2R^4)-$;

X^1 is NR^4, S, S(=O), $S(=O)_2$, O, CH_2, C(=O), $C(=CH_2)$, CH(OH), $CH(CH_3)$, $CH(OCH_3)$, $CH(SCH_3)$, $CH(NR^{5a}R^{5b})$, CH_2-NR^4 or NR^4-CH_2;

X^2 is a direct bond, CH_2, C(=O), NR^4, C_{1-4}alkyl-NR^4, NR^4-C_{1-4}alkyl;

~~t is 2, 3, 4 or 5;~~

u is ~~1, 2, 3, 4 or 5~~ 2 or 3;

v is 2 ~~or 3~~; and

whereby each hydrogen atom in ~~Alk and~~ the carbocycles and the heterocycles defined in radicals ~~(b-3),~~ (b-4), (b-5), and (b-6)~~, (b-7) and (b-8)~~ may optionally be replaced by R^3;

with the proviso that when R^3 is hydroxy or C_{1-6}alkyloxy, then R^3 can not replace a hydrogen atom in the α position relative to a nitrogen atom;

G is a direct bond or C_{1-10}alkanediyl;

R^1 is a monocyclic heterocycle selected from pyridyl, pyrazinyl, pyridazinyl, pyrimidinyl, pyrrolyl, imidazolyl and pyrazolyl; and each heterocycle may optionally be substituted with 1 or where possible more~~, such as 2, 3 or 4,~~ substituents selected from halo, hydroxy, amino, cyano, carboxy, C_{1-6}alkyl, C_{1-6}alkyloxy, C_{1-6}alkylthio, C_{1-6}alkyloxyC_{1-6}alkyl, aryl, arylC_{1-6}alkyl, arylC_{1-6}alkyloxy, hydroxyC_{1-6}alkyl, mono-or di(C_{1-6}alkyl)amino, mono-or di(C_{1-6}alkyl)aminoC_{1-6}alkyl, polyhaloC_{1-6}alkyl, C_{1-6}alkylcarbonylamino, C_{1-6}alkyl-SO_2-NR^{5c}-, aryl-SO_2-NR^{5c}-, C_{1-6}alkyloxycarbonyl, -C(=O)-$NR^{5c}R^{5d}$, HO(-CH_2-CH_2-O)$_n$-, halo(-CH_2-CH_2-O)$_n$-, C_{1-6}alkyloxy(-CH_2-CH_2-O)$_n$-, arylC_{1-6}alkyloxy(-CH_2-CH_2-O)$_n$- and mono-or di(C_{1-6}alkyl)amino(-CH_2-CH_2-O)$_n$-;

each n independently is 1, 2, 3 or 4;

R^2 is hydrogen, formyl, pyrrolidinyl, piperidinyl, homopiperidinyl, C_{3-7}cycloalkyl substituted with $N(R^6)_2$, or C_{1-10}alkyl substituted with $N(R^6)_2$ and optionally with a second, third or fourth substituent selected from amino, hydroxy, C_{3-7}cycloalkyl, C_{2-5}alkanediyl, piperidinyl, mono-or di(C_{1-6}alkyl)amino, C_{1-6}alkyloxycarbonylamino, aryl and aryloxy;

R^3 is hydrogen, hydroxy, C_{1-6}alkyl, C_{1-6}alkyloxy, arylC_{1-6}alkyl or arylC_{1-6}alkyloxy;

R^4 is hydrogen, C_{1-6}alkyl or arylC_{1-6}alkyl;

R^{5a}, R^{5b}, R^{5c} and R^{5d} each independently are hydrogen or C_{1-6}alkyl; or

R^{5a} and R^{5b}, or R^{5c} and R^{5d} taken together form a bivalent radical of formula -$(CH_2)_s$- wherein s is 4 or 5;

R^6 is hydrogen, C_{1-4}alkyl, formyl, hydroxyC_{1-6}alkyl, C_{1-6}alkylcarbonyl or C_{1-6}alkyloxycarbonyl;

aryl is phenyl or phenyl substituted with 1 or more~~, such as 2, 3 or 4,~~ substituents selected from halo, hydroxy, C_{1-6}alkyl, hydroxyC_{1-6}alkyl, polyhaloC_{1-6}alkyl, and C_{1-6}alkyloxy;

provided that when G is methylene, and R^1 is 2-pyridyl, 3-pyridyl, 6-methyl-2-pyridyl, 2-pyrazinyl or 5-methyl-imidazol-4-yl, ~~and a^1=a^2-a^3=a^4 is CH=CH-CH=CH or N=CH-CH=CH~~, then Q is other than

DOCKET NO.: JANS-0027/JAB-1498 **PATENT**
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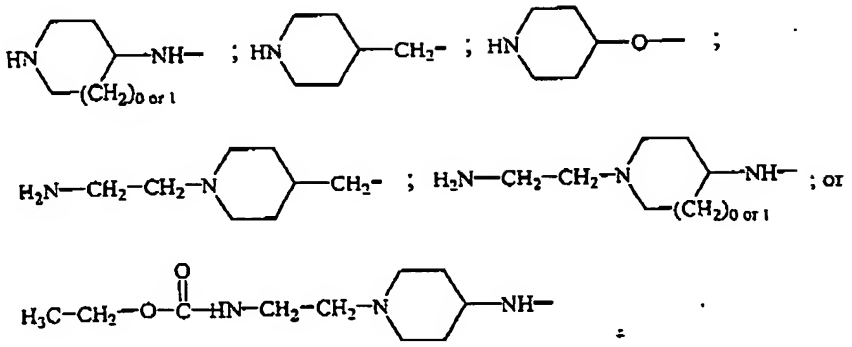
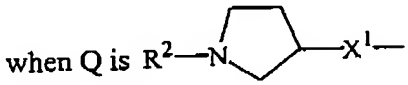
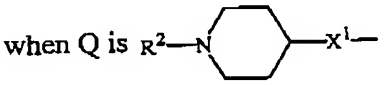


3. ***(previously presented)*** A compound as claimed in claim 2, wherein:

when Q is 

wherein X^1 is NR^4, O, S, S(=O), $S(=O)_2$, CH_2, C(=O), $C(=CH_2)$ or $CH(CH_3)$, then R^1 is other than pyridyl, pyridyl substituted with C_{1-6}alkyl, pyrimidinyl, pyrazinyl, imidazolyl and imidazolyl substituted with C_{1-6}alkyl.

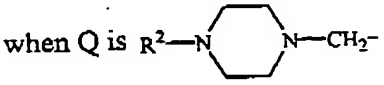
4. ***(previously presented)*** A compound as claimed in claim 2, wherein:

when Q is 

wherein X^1 is NR^4, O, S, S(=O), $S(=O)_2$, CH_2, C(=O), $C(=CH_2)$ or $CH(CH_3)$, then R^1 is other than pyridyl, pyridyl substituted with C_{1-6}alkyl, pyridyl substituted with 1 or 2 C_{1-6}alkyloxy, pyrazinyl, pyrrolyl, pyrrolyl substituted with C_{1-6}alkyl, imidazolyl and imidazolyl substituted with C_{1-6}alkyl.

5. ***(cancelled)***

6. ***(previously presented)*** A compound as claimed in claim 2, wherein:

when Q is 

then R^1 is other than pyridyl, pyrimidinyl, pyrazinyl, imidazolyl and imidazolyl substituted with C_{1-6}alkyl.

7. ***(cancelled)***

8. ***(currently amended)*** A compound as claimed in claim 2, wherein the compound is:

(±)-2-[[2-[[1-(2-amino-3-methylbutyl)-4-piperidinyl]amino]-7-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl-3-pyridinol tetrahydrochloride monohydrate;

2-[[2-[[1-(2-aminoethyl)-4-piperidinyl]amino]-1H-benzimidazol-1-yl]methyl-3-pyridinol;

(±)-N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-6-chloro-1-[(1,4-dimethyl-1H-imidazol-5-yl)methyl]-1H-benzimidazol-2-amine monohydrate;

(±)-N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-6-chloro-1-[(6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-amine;

~~(±) 2-[[2-[(3-amino-2-hydroxypropyl)amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-3-pyridinol;~~

N-[1-(2-aminoethyl)-4-piperidinyl]-1-[[3-(2-ethoxyethoxy)-6-methyl-2-pyridinyl]methyl]-1H-benzimidazol-2-amine tetrahydrochloride dihydrate;

(±)-N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-[(2-chloro-1,4-dimethyl-1H-imidazol-5-yl)methyl]-1H-benzimidazol-2-amine;

(±)-N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-6-chloro-1-[(2-chloro-1,4-dimethyl-1H-imidazol-5-yl)methyl]-1H-benzimidazol-2-amine;

(±)-N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-6-methyl-1-[(6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-amine;

(±)-N-[1-(2-aminopropyl)-4-piperidinyl]-1-[(3,5,6-trimethylpyrazinyl)methyl]-1H-benzimidazol-2-amine tetrahydrochloride trihydrate;

(±)-N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-[(3,5,6-trimethylpyrazinyl)methyl]-1H-benzimidazol-2-amine;

N-[1-(2-aminoethyl)-4-piperidinyl]-1-[[3-(2-chloroethoxy)-6-methyl-2-pyridinyl]methyl]-1H-benzimidazol-2-amine trihydrochloride dihydrate;

(±)-N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-[3-amino-2-pyridinyl)methyl]-1H-benzimidazol-2-amine tetrahydrochloride trihydrate;

2-[[2-[[1-(2-aminoethyl)-4-piperidinyl]amino]-4-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl-3-pyridinol tetrahydrochloride;

~~(±) 2-[[2-[[1-(2-amino-3-methylbutyl)-4-piperidinyl]amino]-7-methyl-3H-imidazo[4,5-b]pyridin-3-yl]methyl]-6-methyl-3-pyridinol;~~

2-[[2-[[1-(2-aminoethyl)-4-piperidinyl]amino]-6-chloro-4-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl-3-pyridinol tetrahydrochloride 2-propanolate (1:1);

(±)-2-[[2-[[1-(2-amino-3-methylbutyl)-4-piperidinyl]amino]-4-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl-3-pyridinol;

(±)-2-[[2-[[1-(2-aminopropyl)-4-piperidinyl]amino]-4-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl-3-pyridinol tetrahydrochloride trihydrate;

2-[[2-[[1-(2-aminoethyl)-4-piperidinyl]amino]-7-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl-3-pyridinol tetrahydrochloride dihydrate;

2-[[2-[[1-(2-aminoethyl)-4-piperidinyl]amino]-6-bromo-4-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl-3-pyridinol tetrahydrochloride;

2-[[2-[[1-(2-aminoethyl)-4-piperidinyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-3-pyridinol tetrahydrochloride monohydrate;

(±)-2-[[2-[[1-(2-amino-3-methylbutyl)-4-piperidinyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-3-pyridinol;

(±)-N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-4-methyl-1-[(6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-amine;

a prodrug, *N*-oxide, addition salt, quaternary amine, metal complex or stereochemically isomeric form thereof.

9. ***(currently amended)*** A compound, wherein the compound is:

2-[[2-[[1-(2-aminoethyl)-4-piperidinyl]amino]-5-chloro-7-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl-3-pyridinol tetrahydrochloride tetrahydrate;

N-[1-(2-aminoethyl)-4-piperidinyl]-1-[(2,4-dimethyl-5-oxazolyl)methyl]-1H-benzimidazol-2-amine;

N-[1-(2-aminoethyl)-4-piperidinyl]-1-[(2,5-dimethyl-4-oxazolyl)methyl]-1H-benzimidazol-2-amine trihydrochloride monohydrate;

~~4-[[3-[[5-(methoxymethyl)-2-furanyl]methyl]-3H-imidazo[4,5-b]pyridine-2-yl]methyl]-1-piperidineethanamine;~~

N-[1-(2-aminoethyl)-4-piperidinyl]-1-[(5-methyl-3-isoxazolyl)methyl]-1H-benzimidazol-2-amine trihydrochloride monohydrate;

N-[1-(2-aminoethyl)-4-piperidinyl]-1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-amine monohydrate;

N-[1-(2-aminoethyl)-4-piperidinyl]-1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-amine trihydrochloride monohydrate;

~~N-[1-(2-aminoethyl)-4-piperidinyl]-3-[(2,4-dimethyl-5-oxazolyl)methyl]-3H-imidazo[4,5-b]pyridin-2-amine;~~

~~4-[[3-[(2-methyl-5-oxazolyl)methyl]-3H-imidazo[4,5-b]pyridin-2-yl]methyl]-1-piperazineethanamine;~~

N-[1-(2-aminoethyl)-4-piperidinyl]-1-(4-thiazolylmethyl)-1H-benzimidazol-2-amine;

N-[1-(2-aminoethyl)-4-piperidinyl]-1-[(5-phenyl-1,2,4-oxadiazol-3-yl)methyl]-1H-benzimidazol-2-amine trihydrochloride;

5-[[2-[[1-(2-aminoethyl)-4-piperidinyl]amino-1H-benzimidazol-1-yl]methyl-2-oxazolemethanol tetrahydrochloride dihydrate;

N-[1-(2-aminoethyl)-4-piperidinyl]-1-[(3-methyl-5-isoxazolyl)methyl]-1H-benzimidazol-2-amine trihydrochloride monohydrate;

4-[[1-[[2-(dimethylamino)-4-thiazolyl]methyl]-1H-benzimidazol-2-yl]methyl]-1-piperidineethanamine tetrahydrochloride monohydrate 2-propanolate (1:1);

ethyl 5-[[2-[[1-[2-[[(1,1-dimethylethoxy)carbonyl]amino]ethyl]-4-piperidinyl]amino]-1H-benzimidazol-1-yl]methyl]-2-methyl-4-oxazolecarboxylate;

4-[[1-[(2-methyl-4-thiazolyl)methyl]-1H-benzimidazol-2-yl]methyl]-1-piperidineethanamine;

N-[1-(2-aminoethyl)-4-piperidinyl]-1-[(2-methyl-3-furanyl)methyl]-1H-benzimidazol-2-amine;

~~ethyl 4-[[3-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-7-methyl-3H-imidazo[4,5-b]pyridine-2-yl]amino]-1-piperidinecarboxylate;~~

1,1-dimethylethyl 4-[[1-[[3-[2-(dimethylamino)ethoxy]-6-methyl-2-pyridinyl]methyl]-1H-benzimidazol-2-yl]amino-1-piperidinecarboxylate;

ethyl 4-[[1-[(3-amino-2-pyridinyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinecarboxylate;

N-[1-(6-methyl-2-pyridinyl)-1H-benzimidazol-2-yl]-1-(3-pyridinylcarbonyl)-4-piperidinamine;

a prodrug, *N*-oxide, addition salt, quaternary amine, metal complex or stereochemically isomeric form thereof.

10. ***(currently amended)*** A method of **treating a viral infection, comprising the step of administering a therapeutically effective amount of said compound according to any of claim 2 to 9** ~~using as a medicine a compound as claimed in any one of claims 2 to 9~~ .

11. ***(currently amended)*** A method of manufacturing a medicament for the treatment of viral infections, comprising the step of providing the compound as claimed in **any one of claims 2 to** ~~claim~~ 9.

12. ***(currently amended)*** The method of claim 1**, 10** or 11, wherein said viral infection is a respiratory syncytial virus infection.

13. ***(previously presented)*** A pharmaceutical composition, comprising a pharmaceutically acceptable carrier and as active ingredient a therapeutically effective amount of a compound as claimed in any one of claims 2 to 9.

14. ***(previously presented)*** A process of preparing a composition as claimed in claim 13, comprising the step of intimately mixing said carrier with said compound.

DOCKET NO.: JANS-0027/JAB-1498 **PATENT**
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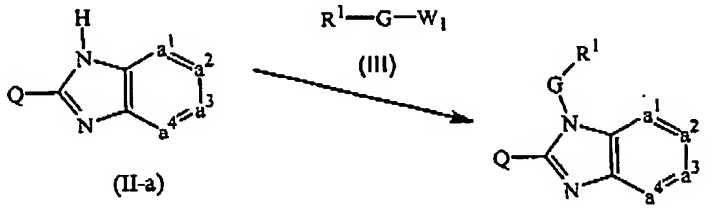
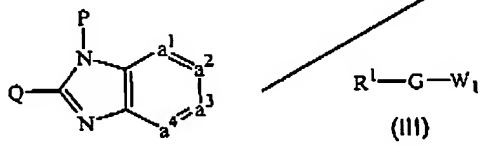
15. ***(currently amended)*** A process of preparing a compound as claimed in claim 2, comprising at least one step selected from the group consisting of:

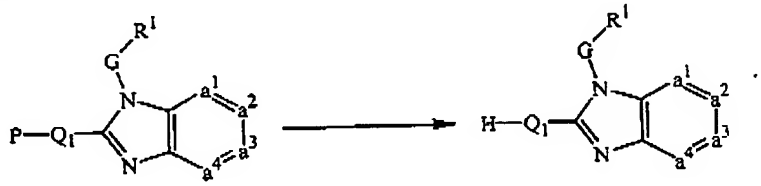
a) reacting an intermediate of formula (II-a) or (II-b) with an intermediate of formula (III)





with R^1, G, Q and $-a^1=a^2-a^3=a^4-$ defined as in claim 2, and W_1 being a ~~suitable~~ leaving group, in the presence of a ~~suitable~~ base and in a ~~suitable~~ reaction-inert solvent;

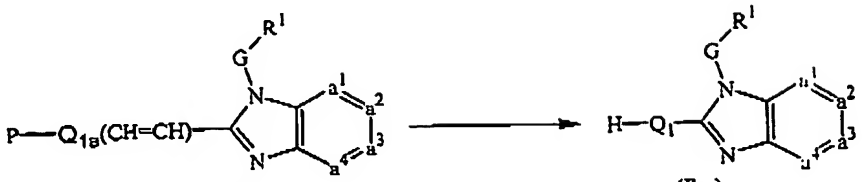
b) deprotecting an intermediate of formula (IV)



with R^1, G, and $-a^1=a^2-a^3=a^4-$ defined as in claim 2, H-Q_1 being defined as Q according to claim 2 provided that R^2 or at least one R^6 substituent is hydrogen, and P being a protective group;

c) deprotecting and reducing an intermediate of formula (IV-a)

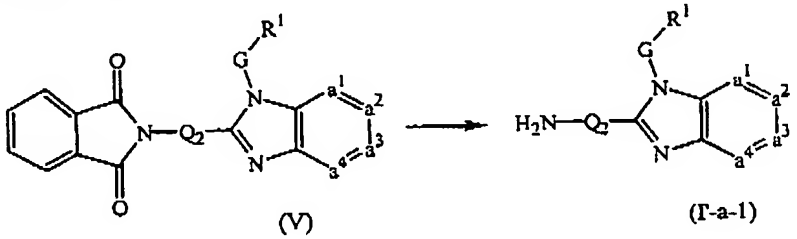
DOCKET NO.: JANS-0027/JAB-1498 **PATENT**
Application No.: 10/030,202
Office Action Dated: June 6, 2003



(IV-a) (I'-a)

with R^1, G, and $-a^1=a^2-a^3=a^4-$ defined as in claim 2, H-Q_1 being defined as Q according to claim 2 provided that R^2 or at least one R^6 substituent is hydrogen, Q_{1a}(CH=CH) being defined as Q_1 provided that Q_1 comprises an unsaturated bond, and P being a protective group;

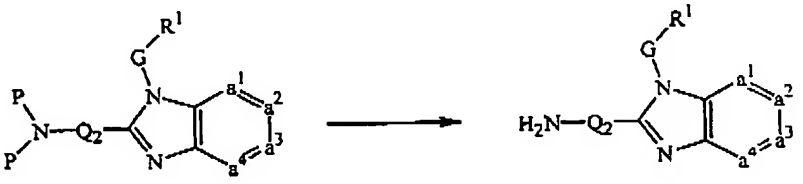
d) deprotecting an intermediate of formula (V)



(V) (I'-a-1)

with R^1, G, and $-a^1=a^2-a^3=a^4-$ defined as in claim 2, and H_2N-Q_2 being defined as Q according to claim 2 provided that both R^6 substituents are hydrogen or R^2 and R^4 are both hydrogen;

e) deprotecting an intermediate of formula (VI)

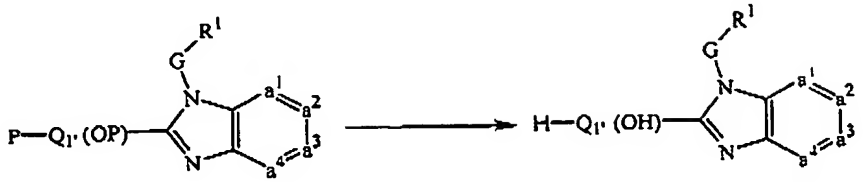


(VI) (I'-a-1)

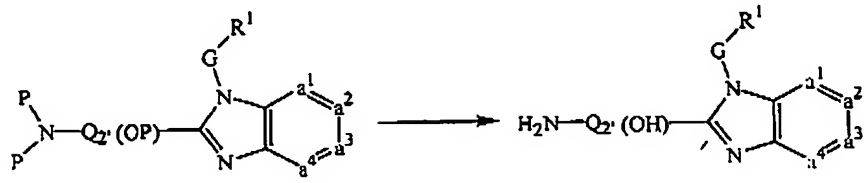
with R^1, G, and $-a^1=a^2-a^3=a^4-$ defined as in claim 2, and H_2N-Q_2 being defined as Q according to claim 2 provided that both R^6 substituents are hydrogen or R^2 and R^4 are both hydrogen, and P being a protective group;

f) deprotecting an intermediate of formula (VII) or (VIII)

DOCKET NO.: JANS-0027/JAB-1498 **PATENT**
Application No.: 10/030,202
Office Action Dated: June 6, 2003



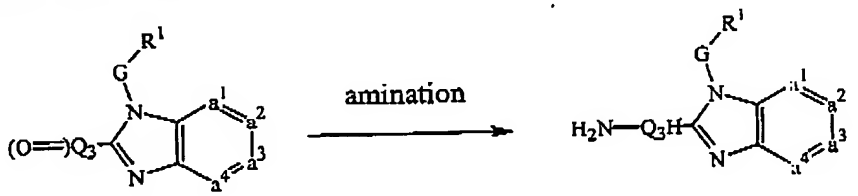
(VII) (I-a-2)



(VIII) (I-a-1-1)

with R^1, G, and $-a^1=a^2-a^3=a^4-$ defined as in claim 2, H-Q_1-(OH) being defined as Q according to claim 2 provided that R^2 or at least one R^6 substituent is hydrogen and provided that Q comprises a hydroxy moiety, H_2N-Q_2-(OH) being defined as Q according to claim 2 provided that both R^6 substituents are hydrogen or R^2 and R^4 are both hydrogen and provided that Q comprises a hydroxy moiety, and P being a protective group;

g) amination of an intermediate of formula (IX)

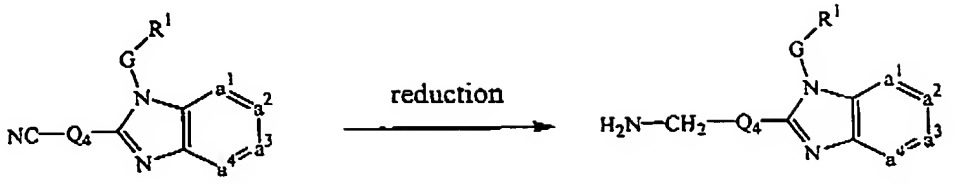


(IX) (I-a-1-2)

with R^1, G, and $-a^1=a^2-a^3=a^4-$ defined as in claim 2, and H_2N-Q_3H being defined as Q according to claim 2 provided that both R^6 substituents are hydrogen or R^2 and R^4 are both hydrogen, and the carbon adjacent to the nitrogen carrying the R^6, or R^2 and R^4 substituents contains at least one hydrogen, in the presence of ~~a suitable~~ an amination reagent;

h) reducing an intermediate of formula (X)

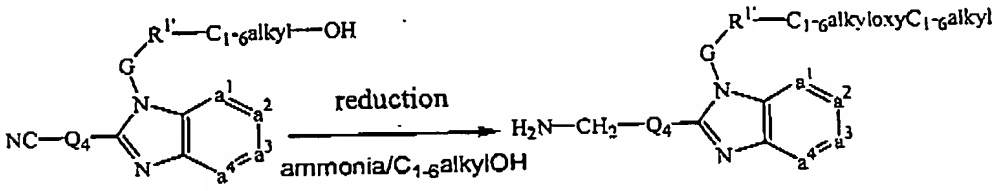
DOCKET NO.: JANS-0027/JAB-1498 **PATENT**
Application No.: 10/030,202
Office Action Dated: June 6, 2003



(X) (I'-a-1-3)

with R^1, G, and $-a^1=a^2-a^3=a^4-$ defined as in claim 2, and $H_2N-CH_2-Q_4$ being defined as Q according to claim 2 provided that Q comprises a $-CH_2-NH_2$ moiety, in the presence of a ~~suitable~~ reducing agent;

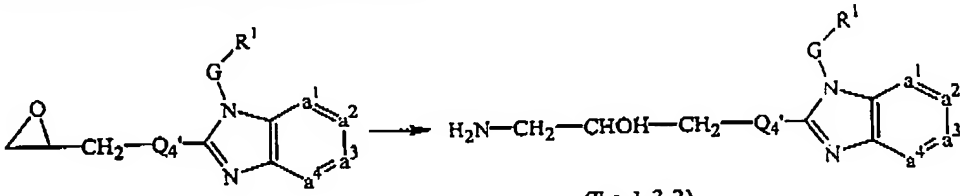
i) reducing an intermediate of formula (X-a)



(X-a) (I'-a-1-3-1)

with G, and $-a^1=a^2-a^3=a^4-$ defined as in claim 2, $H_2N-CH_2-Q_4$ being defined as Q according to claim 2 provided that Q comprises a $-CH_2-NH_2$ moiety, and $R^{1'}$ being defined as R^1 according to claim 2 provided that it comprises at least one substituent, in the presence of a ~~suitable~~ reducing agent and ~~suitable~~ solvent;

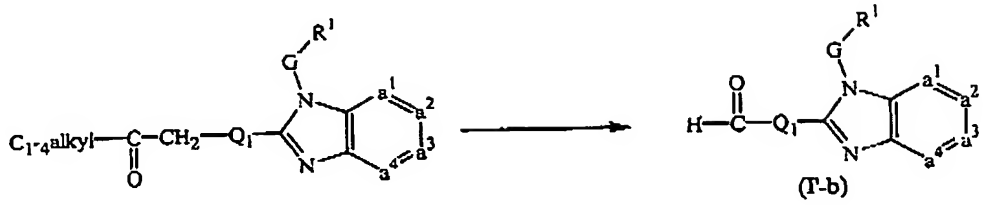
j) amination of an intermediate of formula (XI)



(XI) (I'-a-1-3-2)

with R^1, G, and $-a^1=a^2-a^3=a^4-$ defined as in claim 2, and $H_2N-CH_2-CHOH-CH_2-Q_4$ being defined as Q according to claim 2 provided that Q comprises a $CH_2-CHOH-CH_2-NH_2$ moiety, in the presence of ~~a suitable~~ an amination reagent;

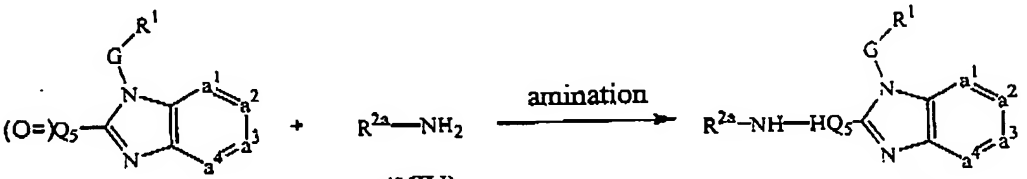
k) reacting an intermediate of formula (XII) with formic acid, formamide and ammonia



(XII) (I-b)

with R^1, G, and $-a^1=a^2-a^3=a^4-$ defined as in claim 2, and H-C(=O)-Q_1 being defined as Q according to claim 2 provided that R^2 or at least one R^6 substituent is formyl;

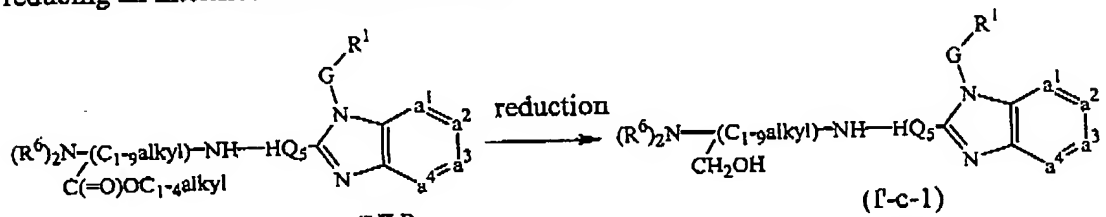
l) amination of an intermediate of formula (XIII) by reaction with an intermediate of formula (XIV)



(XIII) (XIV) (I-c)

with R^1, G, and $-a^1=a^2-a^3=a^4-$ defined as in claim 2, and R^{2a}-NH-HQ_5 being defined as Q according to claim 2 provided that R^2 is other than hydrogen and is represented by R^{2a}, R^4 is hydrogen, and the carbon atom adjacent to the nitrogen atom carrying the R^2 and R^4 substituents, carries also at least one hydrogen atom, in the presence of a ~~suitable~~ reducing agent;

m) reducing an intermediate of formula (XV)

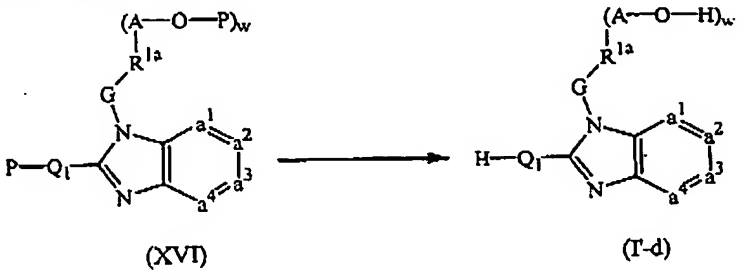


(XV) (I-c-1)

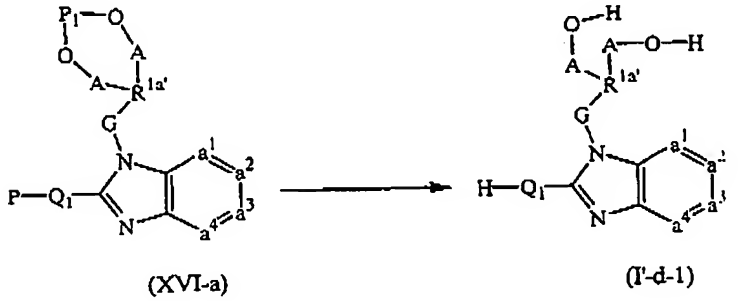
with R^1, G, and $-a^1=a^2-a^3=a^4-$ defined as in claim 2, and $(R^6)_2N$-[$(C_{1-9}$alkyl$)CH_2OH$]-NH-HQ_5 being defined as Q according to claim 2 provided that R^2 is other than hydrogen and is represented by C_{1-10}alkyl substituted with $N(R_6)_2$ and with hydroxy, and the carbon atom carrying the hydroxy, carries also two hydrogen atoms, and provided that R^4 is hydrogen, and the carbon atom adjacent to the nitrogen atom

carrying the R^2 and R^4 substituents, carries also at least one hydrogen atom, with a ~~suitable~~ reducing agent;

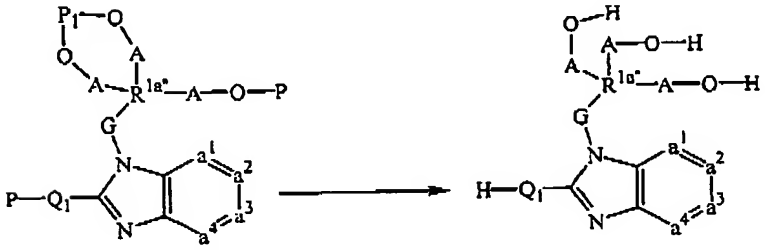
n) deprotecting an intermediate of formula (XVI), (XVI-a) or (XVI-b)



(XVI) (I'-d)



(XVI-a) (I'-d-1)



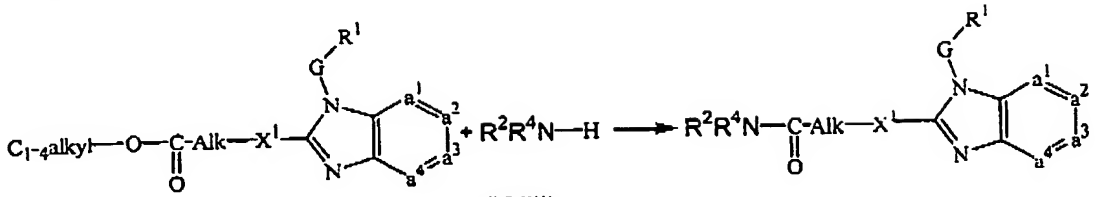
(XVI-b) (I'-d-2)

with G, and $-a^1=a^2-a^3=a^4-$ defined as in claim 2, and H-Q_1 being defined as Q according to claim 2 provided that R^2 or at least one R^6 substituent is hydrogen, and R^{1a}-(A-O-H)$_w$, $R^{1a'}$-(A-O-H)$_2$ and $R^{1a''}$-(A-O-H)$_3$ being defined as R^1 according to claim 2 provided that R^1 is substituted with hydroxy, hydroxyC_{1-6}alkyl, or HO(-CH_2-CH_2-O)$_n$-, with w being an integer from 1 to 4 and P or P_1 being a ~~suitable~~ protecting group, with ~~a suitable~~ an acid;

o) amination of an intermediate of formula (XVII)

DOCKET NO.: JANS-0027/JAB-1498
Application No.: 10/030,202
Office Action Dated: June 6, 2003

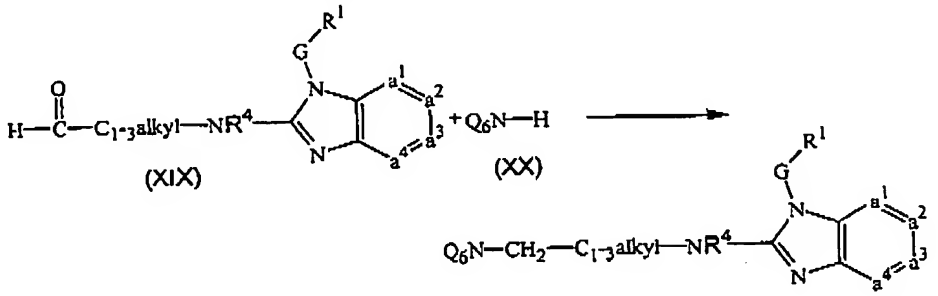
PATENT



(XVII) (XVIII) (I'-e)

with R^1, G, $-a^1=a^2-a^3=a^4-$, Alk, X^1 R^2 and R^4 defined as in claim 2, in the presence of ~~a suitable~~ an amination agent; and

p) amination of an intermediate of formula (XIX)



(XIX) (XX) (I'-p)

with R^1, G, and $-a^1=a^2-a^3=a^4-$ defined as in claim 2, and Q_6N-CH_2-C_{1-3}alkyl-NR^4 being defined as Q according to claim 2 provided that in the definition of Q, X^2 is C_{2-4}alkyl-NR^4, in the presence of ~~a suitable~~ an amination agent.

16. ***(cancelled)***

17. ***(cancelled)***

18. ***(previously presented)*** The process of claim 15, further comprising the step of converting compound of formula (I'), stereochemically isomeric forms, metal complexes, quaternary amines or *N*-oxide forms thereof, into a therapeutically active non-toxic acid addition salt by treatment with an acid.

19. ***(previously presented)*** The process of claim 15, further comprising the step of converting compound of formula (I'), stereochemically isomeric forms, metal complexes,

quaternary amines or *N*-oxide forms thereof, into a therapeutically active non-toxic base addition salt by treatment with alkali.

20. ***(previously presented)*** The process of claim 15, further comprising the step of converting the acid addition salt form of compound of formula (I'), stereochemically isomeric forms, metal complexes, quaternary amines or *N*-oxide forms thereof, into the free base by treatment with alkali.

21. ***(previously presented)*** The process of claim 15, further comprising the step of converting the base addition salt form of compound of formula (I'), stereochemically isomeric forms, metal complexes, quaternary amines or *N*-oxide forms thereof, into the free acid by treatment with acid.

22. ***(withdrawn)*** The process of claim 15, further comprising the step of converting said compound of formula (I'), stereochemically isomeric form, metal complex, quaternary amine or *N*-oxide form thereof, into a different form of compound of formula (I'), stereochemically isomeric form, metal complex, quaternary amine or *N*-oxide form thereof